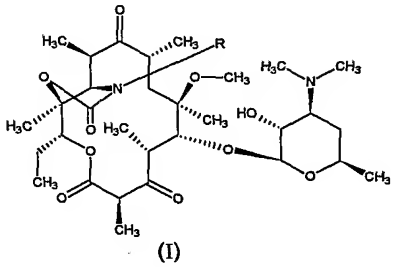
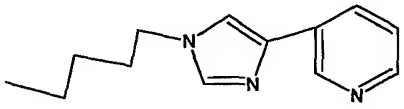
CLAIMS

1. A process for the preparation of compound of formula (I) (Telithromycin) or its pharmaceutically acceptable salts



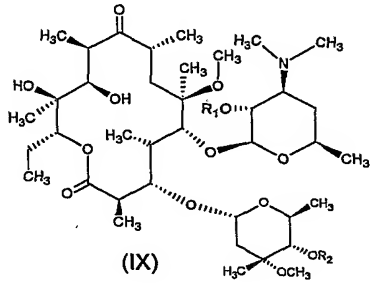
(I)

where, R is



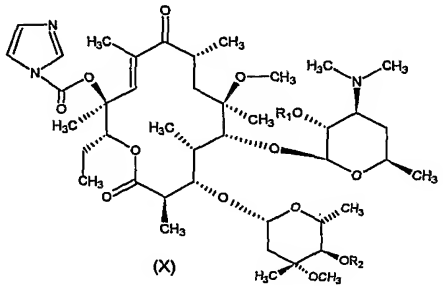
the process comprising the steps of

(a) reacting compound of formula (IX)



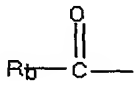
(IX)

with carbonyldiimidazole in presence of a polar solvent and base to obtain the compound of formula (X)



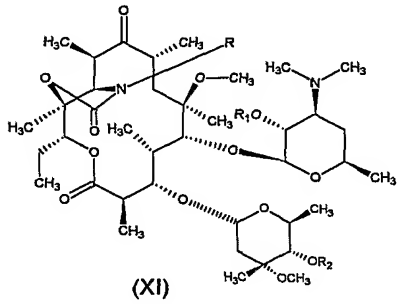
(X)

where R_1 and R_2 are same or different protecting groups represented by



R_b is C_1 to C_{10} alkyl group or aryl group, preferably R_b is $C_1 - C_4$ alkyl group, aryl represents substituted or unsubstituted phenyl group; more preferably R_1 and R_2 are same or different selected from acetyl, benzyl or benzoyl group

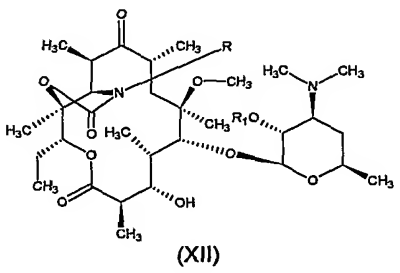
(b) condensing the compounds of formula (X) with R-NH_2 in a suitable polar solvent to obtain compounds of formula (XI)



(XI)

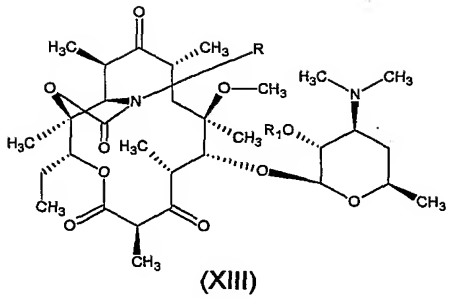
where R is as defined above and R_1 and R_2 are same or different protecting groups as described above;

(c) treating the obtained compound formula (XI) with an acid to give the compound of formula (XII)



(XII)

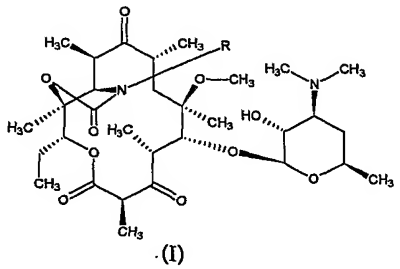
(d) oxidizing the resulting compounds of formula (XII) in presence of oxidizing agent to form compounds of formula (XIII)



(XIII)

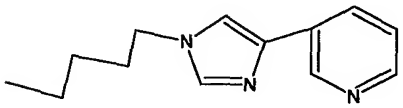
(e) removing the protecting group at 2' position of formula (XIII) by treating with an alcohol to give Telithromycin of Formula (I)

2. A process for the preparation of compounds of formula (I) or its pharmaceutically acceptable salts



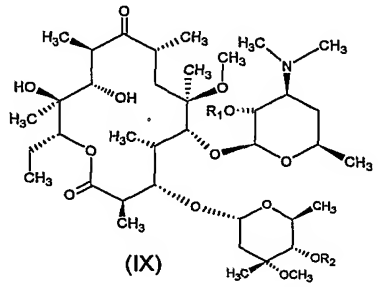
(I)

where, R is



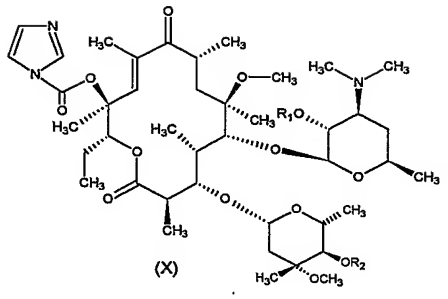
the process comprising the steps of

(a) reacting compound of formula (IX)



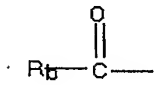
(IX)

with carbonyldiimidazole in presence of a polar solvent and base to obtain the compound of formula (X),



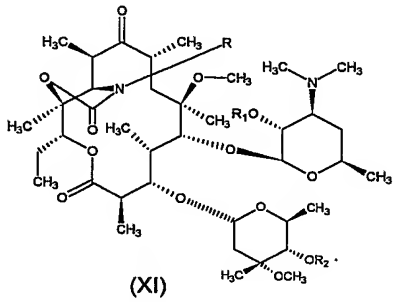
(X)

where R_1 and R_2 are same or different protecting groups represented by



R_b is C_1 to C_{10} alkyl group or aryl group, preferably R_b is $C_1 - C_4$ alkyl group, aryl represents substituted or unsubstituted phenyl group, more preferably R_1 and R_2 are same or different selected from acetyl, benzyl or benzoyl group;

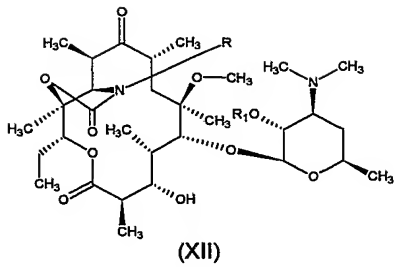
(b) condensing the compounds of formula (X) with R-NH_2 in a suitable polar solvent to obtain compounds of formula (XI)



(XI)

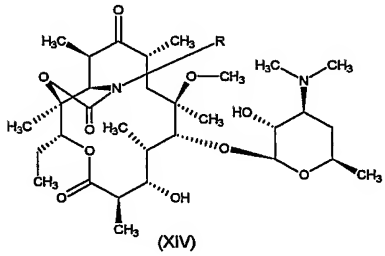
where R is as defined above and R_1 and R_2 are same or different protecting groups as described above;

(c) treating the obtained compound formula (XI) with an acid to give compound of formula (XII)



(XII)

(d) treating compounds of formula (XII) with an alcohol to give compounds of formula (XIV)



(XIV)

(e) oxidizing the resulting compounds of formula (XIV) of step (d) selectively in presence of oxidizing agent to obtain Telithromycin formula (I).

3. A process as claimed in claim 1, wherein said polar solvent in step (a) is selected from dimethylformamide, tetrahydrofuran, acetonitrile and mixtures thereof.

4. A process as claimed in claim 1, wherein said base in step (a) is selected from DBU, triethylamine, diisopropylethylamine.

5. A process as claimed in claim 1, wherein said polar solvent in step (b) is selected from group comprising of methanol, ethanol, isopropanol, n-propanol, n-butanol, iso butyl alcohol, tert-butyl alcohol, methoxyethanol, ethoxyethanol, pentanol, neo-pentyl alcohol, tert-pentyl alcohol, cyclohexanol, ethylene glycol, propylene glycol, benzyl alcohol, phenol, glycerol, dimethylformamide (DMF), dimethylacetamide (DMAC), 1,3-dimethyl-3,4,5,6-tetrahydro-2(1H)-pyrimidinone (DMPU), 1,3-dimethyl-2-imidazolidinone (DMI), N-methylpyrrolidinone (NMP), formamide, N-methylacetamide, N-methylformamide, acetonitrile, dimethylsulfoxide, propionitrile, ethyl formate, methyl acetate, hexachloroacetone, HMPA, HMPT, acetone, ethyl methyl ketone, ethyl acetate, isopropyl acetate, t-butyl acetate, sulfolane, N,N-

dimethylpropionamide, nitromethane, nitrobenzene, tetrahydrofuran (THF), dioxane, water, polyethers or mixtures thereof.

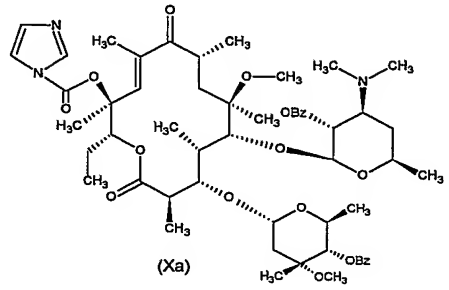
6. A process as claimed in claim 5, wherein said polar solvent is selected from dimethylformamide or acetonitrile.
7. A process as claimed in claim 1, wherein said step (b) is carried out in presence or absence of base selected from DBU, triethylamine, diisopropylethylamine
8. A process as claimed in claim 1, wherein said step (b) is carried out at a temperature 5° C to 120° C.
9. A process as claimed in claim 8, wherein the said step (b) is carried out preferably at a temperature 30°C to 60° C.
10. A process as claimed in claim 1, wherein the said acid in step (c) is selected from organic or inorganic acid.
11. A process as claimed in claim 10, wherein the said acid is selected from the group comprising of hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, perchloric acid or hydrofluoric acid.
12. A process as claimed in claim 11, wherein the acid is preferably hydrochloric acid.
13. A process as claimed in claim 1, wherein step (c) is carried out in a solvent selected from water, polar organic solvents or mixtures thereof.
14. A process as claimed in claim 13, wherein said solvent is selected from water, alcohol or mixtures thereof.
15. A process as claimed in claim 14, wherein said solvent is selected from water, methanol, ethanol, isopropanol, n-propanol, tert-butanol, n-butanol or mixtures thereof.
16. A process as claimed in claim 1, wherein said step (c) is carried out at a temperature 0°C to 70° C
17. A process as claimed in claim 16, where in step (c) is carried out at a temperature 20°C to 60° C
18. A process as claimed in claim 1, wherein oxidation said in step (d) is carried out using Corey- Kim oxidation method, Dess- Martin reagent, Pfitzner Moffat method or modifications thereof or with dimethyl sulfoxide in presence of oxalyl chloride or phosphorous pentoxide or p-Toluene sulfonyl chloride or acetic

anhydride or N-chlorosuccinimide or by manganese or chromium or selenium reagents, tert-amine oxides or any said oxidant in presence or absence of phase transfer catalyst.

19. A process as claimed in claim 1, wherein alcohol said in step (e) is selected from group comprising of methanol, ethanol, n-propanol, iso propanol, tert-butanol, n-butanol or mixtures thereof.
20. A process as claimed in claim 19, wherein the said alcohol is preferably methanol.
21. A process as claimed in claim 1, wherein said step (e) is carried out in presence or absence of mineral acid selected from HCl, H_2SO_4
22. A process as claimed in claim 1, wherein said step (e) is carried out at a temperature of 0°C to 100°C
23. A process as claimed in claim 22, wherein step (e) is carried out preferably at a temperature of 20°C to 70°C.
24. A process as claimed in claim 2, wherein said alcohol in step (d) is selected from group comprising of methanol, ethanol, n-propanol, iso propanol, tert-butanol, n-butanol or mixtures thereof.
25. A process as claimed in claim 24, wherein said alcohol is preferably methanol.
26. A process as claimed in claim 2, wherein said step (d) is carried out at a temperature of 0 to 70°C.
27. A process as claimed in claim 26, wherein the temperature is between 20 to 65°C.
28. A process as claimed in claim 2, wherein said oxidation in step (e) is carried out using Corey- Kim oxidation method, Des- Martins reagent, Pfitzner moffat method or modifications thereof or with dimethyl sulfoxide in presence of oxalyl chloride or phosphorous pentoxide or p-Toluene sulfonyl chloride or acetic anhydride.
29. A process as claimed in claim 2, wherein oxidation in step (e) is carried out by manganese or chromium or selenium reagents, tert-amine oxides or any above oxidant in presence of phase transfer catalyst.

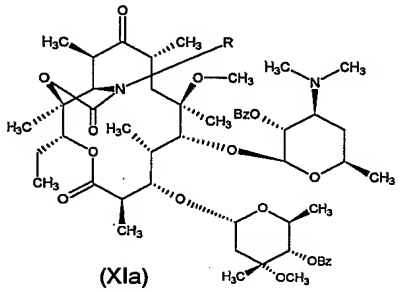
30. The novel compounds

(i) 10,11-Anhydro-2',4"-di-O-benzoyl-12-O-imidazolylcarbonyl-6-O-methylerythromycin A of formula (Xa)



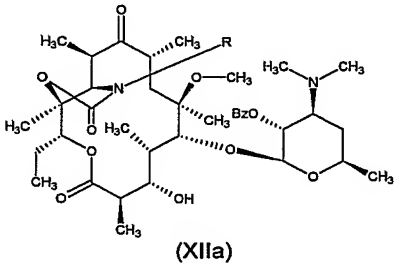
(Xa)

(ii) 2',4"-di-O-benzoyl-11-amino-11-N-[4-[4-(3-pyridyl)imidazol-1-yl]butyl]-11-deoxy-6-O-methylerythromycin A 11,12-cyclic carbamate of formula (XIa)



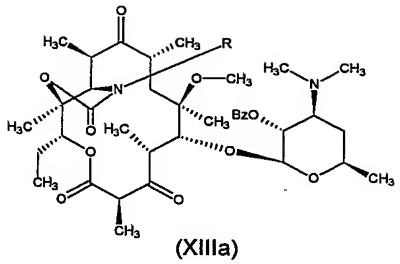
(XIa)

(iii) 2'-O-benzoyl-11-amino-11-N-[4-[4-(3-pyridyl)imidazol-1-yl]butyl]-11-deoxy-5-O-desosaminyl-6-O-methylerythronolide A 11,12-cyclic carbamate of formula (XIIa)



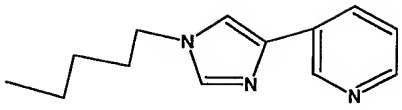
(XIIa)

(iv) 2'-benzoyl-11-amino-11-N-[4-[4-(3-pyridyl)imidazol-1-yl]butyl]-11-deoxy-5-O-desosaminyl-6-O-methylerythronolide A 11,12-cyclic carbamate of formula (XIIa)

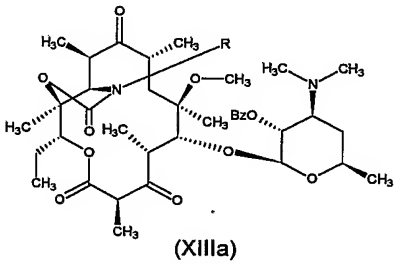


(XIIIa)

where R is

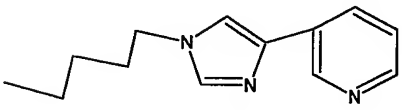


31. A process for the preparation of compound of formula (XIIIa)



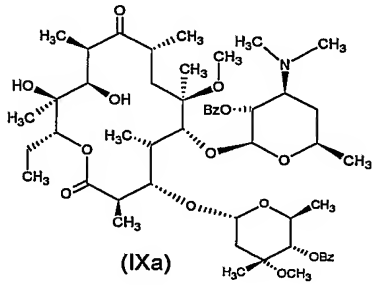
(XIIIa)

where, R is



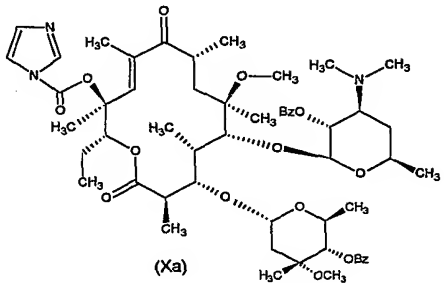
the process comprising the steps of

(a') reacting 2',4"-di-O-benzoyl-6-O-methylerythromycin A compound of formula (IXa)



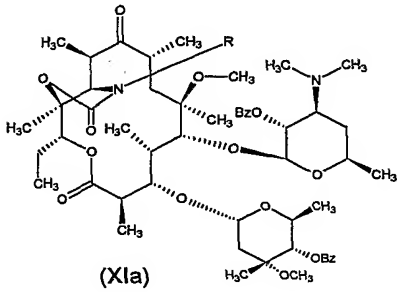
(IXa)

with carbonyldiimidazole in presence of a polar solvent and base to obtain 10,11-anhydro-2',4"-di-O-benzoyl-12-O-imidazolylcarbonyl-6-O-methylerythromycin A (Xa)



(Xa)

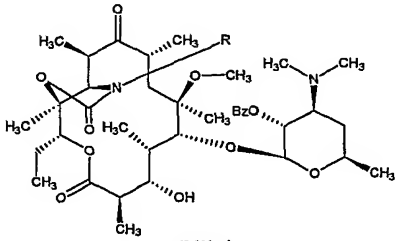
(b') condensing the compounds of formula (Xa) with R-NH_2 in a suitable polar solvent in presence of base to obtain 2',4"-di-O-benzoyl-11-amino-11-N-[4-[4-(3-pyridyl)imidazol-1-yl]butyl]-11-deoxy-6-O-methylerythromycin A 11,12-cyclic carbamate of formula (XIa)



(XIa)

where R is as defined above

(c') treating the obtained compound formula (XIa) with an acid to give 2'-O-benzoyl-11-amino-11-N-[4-[4-(3-pyridyl)imidazol-1-yl]butyl]-11-deoxy-5-O-desosaminyl-6-O-methylerythronolide A 11,12-cyclic carbamate of formula (XIIa)



(XIIa)

(d') oxidizing the resulting compounds of formula (XIIa) in presence of oxidizing agent to obtain the compound of formula (XIIIa)

32. A process as claimed in claim 31, wherein said polar solvent in step (a') is selected from dimehtylformamide, tetrahydrofuran, acetonitrile and mixtures thereof.
33. A process as claimed in claim 31, wherein said base in step (a)' is selected from DBU, triethylamine, diisopropylethylamine.
34. A process as claimed in claim 31, wherein said polar solvent in step (b') is selected from group comprising of methanol, ethanol, isopropanol, n-propanol, n-butanol, iso butyl alcohol, tert-butyl alcohol, methoxyethanol, ethoxyethanol, pentanol, neo-pentyl alcohol, tert-pentyl alcohol, cyclohexanol, ethylene glycol, propylene glycol, benzyl alcohol, phenol, glycerol, dimethylformamide (DMF), dimethylacetamide (DMAC), 1,3-dimethyl-3,4,5,6-tetrahydro-2(1H)-pyrimidinone (DMPU), 1,3-dimethyl-2-imidazolidinone (DMI), N-methylpyrrolidinone (NMP), formamide, N-methylacetamide, N-methylformamide, acetonitrile, dimethylsulfoxide, propionitrile, ethyl formate, methyl acetate, hexachloroacetone, HMPA, HMPT, acetone, ethyl methyl ketone, ethyl acetate, isopropyl acetate, t-butyl acetate, sulfolane, N,N-dimethylpropionamide, nitromethane, nitrobenzene, tetrahydrofuran (THF), dioxane, water, polyethers or mixtures thereof.
35. A process as claimed in claim 31, wherein said polar solvent is selected from dimethylformamide or acetonitrile.
36. A process as claimed in claim 31, wherein base said in step (b') is selected from DBU, triethylamine, diisopropylethylamine.
37. A process as claimed in claim 31, wherein the said step (b') is carried out preferably at a temperature 30°C to 60° C.
38. A process as claimed in claim 31, wherein the said acid in step (c') is selected from organic or inorganic acid selected from the group comprising of hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, perchloric acid or hydrofluoric acid.
39. A process as claimed in claim 31, wherein step (c') is carried out in a solvent selected from water, methanol, ethanol, isopropanol, n-propanol, tert-butanol, n-butanol or mixtures thereof.

40. A process as claimed in claim 31, where in step (c') is carried out at a temperature 20°C to 60° C

41. A process as claimed in claim 31, wherein oxidation said in step (d') is carried out using Corey- Kim oxidation method, Dess- Martin reagent, Pfitzner Moffat method or modifications thereof or with dimethyl sulfoxide in presence of oxalyl chloride or phosphorous pentoxide or p-Toluene sulfonyl chloride or acetic anhydride or N-chlorosuccinimide by manganese or chromium or selenium reagents, tert-amine oxides or any said oxidant in presence of phase transfer catalyst.

42. Use of compounds of formula (Xa), (XIa), (XIIa), (XIIIa) for the preparation of Telithromycin (I)